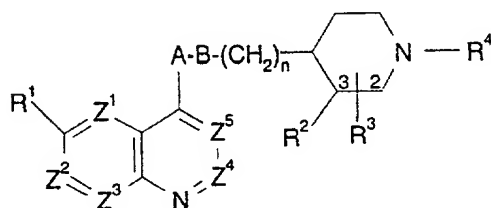


Claims

1. A compound of formula (I) or a pharmaceutically acceptable derivative thereof:



(I)

5

wherein:

one of Z^1 , Z^2 , Z^3 , Z^4 and Z^5 is N and the remainder are CH;

- 10 R^1 is hydrogen, hydroxy; (C₁₋₆) alkoxy optionally substituted by (C₁₋₆)alkoxy, amino, piperidyl, guanidino or amidino optionally N-substituted by one or two (C₁₋₆)alkyl, acyl or (C₁₋₆)alkylsulphonyl groups, NH₂CO, hydroxy, thiol, (C₁₋₆)alkylthio, heterocyclylthio, heterocyclyloxy, arylthio, aryloxy, acylthio, acyloxy or (C₁₋₆)alkylsulphonyloxy; (C₁₋₆)alkoxy-substituted (C₁₋₆)alkyl; halogen; (C₁₋₆)alkyl; (C₁₋₆)alkylthio; nitro; trifluoromethyl; azido; acyl; acyloxy; acylthio; (C₁₋₆)alkylsulphonyl; (C₁₋₆)alkylsulphoxide; arylsulphonyl; arylsulphoxide or an amino, piperidyl, guanidino or amidino group optionally N-substituted by one or two (C₁₋₆)alkyl, acyl or (C₁₋₆)alkylsulphonyl groups;
- 15
- 20 either R^2 is hydrogen; and R^3 is in the 2- or 3-position and is hydrogen or (C₁₋₆)alkyl or (C₂₋₆)alkenyl optionally substituted with 1 to 3 groups selected from:
thiol; halogen; (C₁₋₆)alkylthio; trifluoromethyl; azido; (C₁₋₆)alkoxycarbonyl; (C₁₋₆)alkylcarbonyl; (C₂₋₆)alkenyloxycarbonyl; (C₂₋₆)alkenylcarbonyl; hydroxy
- 25 optionally substituted by (C₁₋₆)alkyl, (C₂₋₆)alkenyl, (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, (C₂₋₆)alkenyloxycarbonyl, (C₂₋₆)alkenylcarbonyl or aminocarbonyl wherein the amino group is optionally substituted by (C₁₋₆)alkyl, (C₂₋₆)alkenyl, (C₁₋₆)alkylcarbonyl or (C₂₋₆)alkenylcarbonyl; amino optionally mono- or disubstituted by (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, (C₂₋₆)alkenyloxycarbonyl, (C₂₋₆)alkenylcarbonyl, (C₁₋₆)alkyl, (C₂₋₆)alkenyl, (C₁₋₆)alkylsulphonyl, (C₂₋₆)alkenylsulphonyl or aminocarbonyl wherein the amino group is optionally substituted
- 30 by (C₁₋₆)alkyl or (C₂₋₆)alkenyl; aminocarbonyl wherein the amino group is optionally mono- or disubstituted by (C₁₋₆)alkyl, (C₂₋₆)alkenyl, (C₁₋₆)alkoxycarbonyl,

(C₁₋₆)alkylcarbonyl, (C₂₋₆)alkenyloxycarbonyl or (C₂₋₆)alkenylcarbonyl]; oxo; (C₁₋₆)alkylsulphonyl; (C₂₋₆)alkenylsulphonyl; or (C₁₋₆)aminosulphonyl wherein the amino group is optionally substituted by (C₁₋₆)alkyl or (C₂₋₆)alkenyl; or

- 5 R³ is in the 3-position and R² and R³ together are a divalent residue =CR^{5¹}R^{6¹} where R^{5¹} and R^{6¹} are independently selected from H, (C₁₋₆)alkyl, (C₂₋₆)alkenyl, aryl(C₁₋₆)alkyl and aryl(C₂₋₆)alkenyl, any alkyl or alkenyl moiety being optionally substituted by 1 to 3 groups selected from those listed above for substituents on R³;
- 10 R⁴ is a group -CH₂-R⁵ in which R⁵ is selected from:
 (C₃₋₁₂)alkyl; hydroxy(C₃₋₁₂)alkyl; (C₁₋₁₂)alkoxy(C₃₋₁₂)alkyl; (C₁₋₁₂)alkanoyloxy(C₃₋₁₂)alkyl; (C₃₋₆)cycloalkyl(C₃₋₁₂)alkyl; hydroxy-, (C₁₋₁₂)alkoxy- or (C₁₋₁₂)alkanoyloxy-(C₃₋₆)cycloalkyl(C₃₋₁₂)alkyl; cyano(C₃₋₁₂)alkyl; (C₂₋₁₂)alkenyl; (C₂₋₁₂)alkynyl; tetrahydrofuryl; mono- or di-(C₁₋₁₂)alkylamino(C₃₋₁₂)alkyl;
 15 acylamino(C₃₋₁₂)alkyl; (C₁₋₁₂)alkyl- or acyl-aminocarbonyl(C₃₋₁₂)alkyl; mono- or di-(C₁₋₁₂)alkylamino(hydroxy)(C₃₋₁₂)alkyl; optionally substituted phenyl(C₁₋₂)alkyl, phenoxy(C₁₋₂)alkyl or phenyl(hydroxy)(C₁₋₂)alkyl; optionally substituted diphenyl(C₁₋₂)alkyl; optionally substituted phenyl(C₂₋₃)alkenyl; optionally substituted benzoyl or benzoylmethyl; optionally substituted heteroaryl(C₁₋₂)alkyl; and optionally substituted
 20 heteroaryl or heteroaroylmethyl;

n is 0, 1 or 2;

- A is NR¹¹, O, S(O)_x or CR⁶R⁷ and B is NR¹¹, O, S(O)_x or CR⁸R⁹ where x is 0, 1 or 2
 25 and wherein:
 each of R⁶ and R⁷ R⁸ and R⁹ is independently selected from: H; thiol; (C₁₋₆)alkylthio; halo; trifluoromethyl; azido; (C₁₋₆)alkyl; (C₂₋₆)alkenyl; (C₁₋₆)alkoxycarbonyl; (C₁₋₆)alkylcarbonyl; (C₂₋₆)alkenyloxycarbonyl; (C₂₋₆)alkenylcarbonyl; hydroxy, amino or aminocarbonyl optionally substituted as for corresponding substituents in R³; (C₁₋₆)alkylsulphonyl; (C₂₋₆)alkenylsulphonyl; or (C₁₋₆)aminosulphonyl wherein the amino
 30 group is optionally substituted by (C₁₋₆)alkyl or (C₁₋₆)alkenyl;
 or R⁶ and R⁸ together represent a bond and R⁷ and R⁹ are as above defined;
 or R⁶ and R⁸ together represent -O- and R⁷ and R⁹ are both hydrogen;
 or R⁶ and R⁷ or R⁸ and R⁹ together represent oxo;
 35 and each R¹¹ is independently H, trifluoromethyl, (C₁₋₆)alkyl, (C₁₋₆)alkenyl, (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, aminocarbonyl wherein the amino group is

optionally mono- or di-substituted by (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, (C₁₋₆)alkenyloxycarbonyl, (C₂₋₆)alkenylcarbonyl, (C₁₋₆)alkyl or (C₁₋₆)alkenyl;

provided that A and B cannot both be selected from NR¹, O and S(O)_x and when one of
5 A and B is CO the other is not CO, O or S(O)_x.

2. A compound according to claim 1 wherein Z¹ is N and Z²-Z⁵ are each CH or Z⁵ is N and Z¹-Z⁴ are each CH.

10 3. A compound according to claim 1 or 2 wherein R¹ is methoxy, amino(C₃₋₅)alkyloxy, guanidino(C₃₋₅)alkyloxy or fluoro, most preferably methoxy.

4. A compound according to any preceding claim wherein R³ is in the 3-position and is aminocarbonyl(C₁₋₆)alkyl, hydroxy(C₁₋₆)alkyl or 1,2-dihydroxy(C₂₋₆)alkyl
15 optionally substituted on the hydroxy group(s).

5. A compound according to any preceding claim wherein AB is NHCO, NHCOCH₂ or CH₂CH(OH)CH₂.

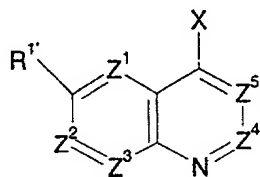
20 6. A compound according to any preceding claim wherein R⁴ is (C₅₋₁₀)alkyl, unsubstituted phenyl(C₂₋₃)alkyl or unsubstituted phenyl(C₃₋₄)alkenyl.

7. A compound according to claim 1 selected from:
[3R, 4S]-1-Heptyl-4-[N-methyl-N-(6-methoxy-quinazolin-4-yl)-2-aminoethyl]-3-ethenylpiperidine;
25 [3R, 4S]-1-Heptyl-4-[2-(6-methoxyquinazolin-4-oxy)ethyl]-3-ethenylpiperidine;
1-Heptyl-4-(6-methoxy-1,5-naphthyridin-4-yl)aminocarbonyl piperidine;
[3R, 4S]-1-Heptyl-3-ethenyl-4-N-(6-methoxy-1,5-naphthyridin-4-yl)-piperidineacetamide;
30 [3R,4S]-1-Heptyl-3-ethenyl-4-[2-(R,S)-hydroxy-3-(6-methoxy-1,5-naphthyridin-4-yl)propyl]piperidine;
[3R,4S]-1-Heptyl-4-N-(6-methoxy-1,5-naphthyridin-4-yl)-3,4-piperidinediacetamide;
[3R,4S]-1-Heptyl-4-N-(6-methoxy-1,5-naphthyridin-4-yl)-3-(1-(R,S),2-dihydroxyethyl)-piperidineacetamide;
35 [3R, 4S]-1-Heptyl-3-ethenyl-4-N-(6-methoxy-cinnolin-4-yl)-piperidineacetamide, [or a pharmaceutically acceptable derivative of any of the foregoing compounds.

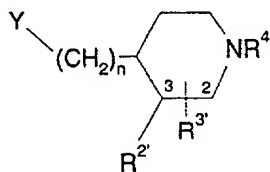
8. A process for preparing compounds of formula (I), or a pharmaceutically acceptable derivative thereof according to claim 1, which process comprises:

(a) reacting a compound of formula (IV) with a compound of formula (V):

5



(IV)



(V)

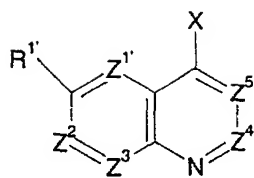
wherein Z^1 , Z^2 , Z^3 , Z^4 and Z^5 , m , n , R^1 , R^2 , R^3 and R^4 are as defined in formula (I), and X and Y may be the following combinations:

- 10 (i) X is M and Y is $CH_2CO_2R^X$
 - (ii) X is CO_2RY and Y is $CH_2CO_2R^X$
 - (iii) one of X and Y is $CH=SPh_2$ and the other is CHO
 - (iv) X is CH_3 and Y is CHO
 - (v) X is CH_3 and Y is CO_2R^X
 - 15 (vi) X is CH_2CO_2RY and Y is CO_2R^X
 - (vii) X is $CH=PR^Z_3$ and Y is CHO
 - (viii) X is CHO and Y is $CH=PR^Z_3$
 - (ix) X is halogen and Y is $CH=CH_2$
 - (x) one of X and Y is COW and the other is $NHR^{11'}$ or NCO
 - 20 (xi) one of X and Y is $(CH_2)_p-V$ and the other is $(CH_2)_qNHR^{11'}$, $(CH_2)_qOH$, $(CH_2)_qSH$ or $(CH_2)_qSCOR^X$ where $p+q=1$
 - (xii) one of X and Y is CHO and the other is $NHR^{11'}$
 - (xiii) one of X and Y is OH and the other is $-CH=N_2$
- 25 in which V and W are leaving groups, R^X and RY are (C_{1-6}) alkyl and R^Z is aryl or (C_{1-6}) alkyl;

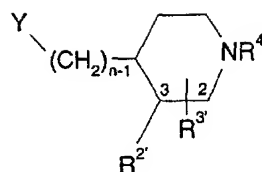
or

(b) reacting a compound of formula (IV) with a compound of formula (Vb):

30



(IV)



(Vb)

wherein Z^1 , Z^2 , Z^3 , Z^4 and Z^5 , m , n , R^1 , R^2 , R^3 and R^4 are as defined in formula (I), X is $\text{CH}_2\text{NHR}^{11'}$ and Y is CHO or COW or X is CH_2OH and Y is $-\text{CH}=\text{N}_2$;

5

in which $R^{11'}$, $R^{1'}$, $R^{2'}$, $R^{3'}$ and $R^{4'}$ are R^{11} , R^1 , R^2 , R^3 and R^4 or groups convertible thereto, and thereafter optionally or as necessary converting $R^{11'}$, $R^{1'}$, $R^{2'}$, $R^{3'}$ and $R^{4'}$ to R^{11} , R^1 , R^2 , R^3 and R^4 , converting A-B to other A-B, interconverting R^{11} , R^1 , R^2 , R^3 and/or R^4 and forming a pharmaceutically acceptable derivative thereof.

10

9. A pharmaceutical composition comprising a compound of formula (I) or a pharmaceutically acceptable derivative thereof according to claim 1, and a pharmaceutically acceptable carrier.

15

10. A method of treatment of bacterial infections in mammals, particularly in man, which method comprises the administration to a mammal in need of such treatment of an effective amount of a compound of formula (I) or a pharmaceutically acceptable derivative thereof according to claim 1.

20

11. The use of a compound of formula (I) or a pharmaceutically acceptable derivative thereof according to claim 1 in the manufacture of a medicament for use in the treatment of bacterial infections in mammals.

25

12. A pharmaceutical composition for use in the treatment of bacterial infections in mammals comprising a compound of formula (I) or a pharmaceutically acceptable derivative thereof according to claim 1, and a pharmaceutically acceptable carrier.